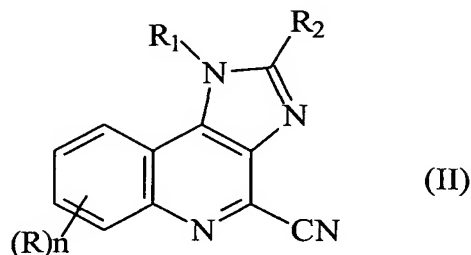


What is claimed is:

1. A compound of formula (II) and salts thereof,



wherein

R₁ is selected from the group consisting of: hydrogen; a straight or branched chain alkyl of one to about 10 carbon atoms, optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; straight or branched chain alkenyl of 2 to about 10 carbon atoms, wherein the olefinic unsaturation in the alkenyl group is at least one carbon atom removed from the 1-nitrogen, and wherein the straight or branched chain alkyl is optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; hydroxyalkyl of one to about six carbon atoms; acyloxyalkyl wherein the acyloxy moiety is alkanoyloxy of two to about four carbon atoms or benzoyloxy and the alkyl moiety contains one to about six carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R₂ is selected from the group consisting of: hydrogen; straight or branched chain alkyl containing one to about eight carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by

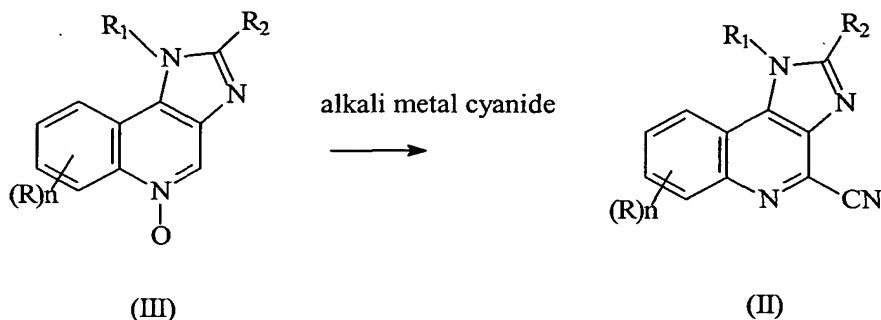
one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R is independently selected from the group consisting of: alkoxy of one to about four carbon atoms; alkyl of one to about four carbon atoms; and halogen; and

n is an integer from 0 to 2, with the proviso that if n is 2, then said groups together contain no more than 6 carbon atoms.

2. A compound of claim 1, wherein R₁ is isobutyl, R₂ is hydrogen, and n is 0.

3. A process for preparing a 1H-imidazo[4,5-c]quinoline 4-cyano compound of formula (II) and salts thereof, comprising reacting a compound of formula (III) with an alkali metal cyanide in a suitable solvent to form a reaction mixture:



wherein

R₁ is selected from the group consisting of: hydrogen; a straight or branched chain alkyl of one to about 10 carbon atoms, optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; straight or branched chain alkenyl of 2 to about 10 carbon atoms, wherein the olefinic unsaturation in the alkenyl group is at least one carbon atom removed from the 1-nitrogen, and wherein the straight or branched chain alkyl is optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group;

hydroxyalkyl of one to about six carbon atoms; acyloxyalkyl wherein the acyloxy moiety is alkanoyloxy of two to about four carbon atoms or benzoyloxy and the alkyl moiety contains one to about six carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R_2 is selected from the group consisting of: hydrogen; straight or branched chain alkyl containing one to about eight carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R is independently selected from the group consisting of: alkoxy of one to about four carbon atoms; alkyl of one to about four carbon atoms; and halogen; and

n is an integer from 0 to 2, with the proviso that if n is 2, then said groups together contain no more than 6 carbon atoms.

4. The process of claim 3, wherein R_1 is isobutyl, R_2 is hydrogen, and n is 0.

5. The process of claim 3, wherein the reaction is carried out in the presence of an organic acid halide.

6. The process of claim 5, wherein the organic acid halide is benzoyl chloride.

7. The process of claim 3, wherein the solvent is a mixture of methylene chloride and water.

8. The process of claim 3, wherein the ratio of alkali metal cyanide to compound (III) is from about 3:1.

9. The process of claim 3, wherein the reaction is carried out at a temperature of between about -5 to +5 °C.

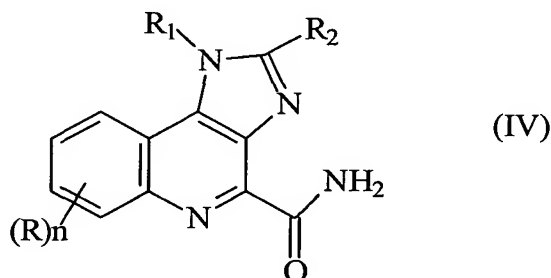
10. The process of claim 5, wherein the organic acid halide is added to the reaction mixture over a period of from about 1 to about 3 hours.

5 11. The process of claim 3, wherein the reaction mixture comprises an aqueous phase and an organic phase.

12. The process of claim 11, further comprising separating the organic phase from the aqueous phase and washing and concentrating the organic phase.

10 13. The process of claim 11, further comprising adding t-butyl ammonium bromide to the reaction mixture.

14. A compound of formula (IV) and salts thereof:



wherein

15 R₁ is selected from the group consisting of: hydrogen; a straight or branched chain alkyl of one to about 10 carbon atoms, optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; straight or branched chain alkenyl of 2 to about 10 carbon atoms, wherein the olefinic unsaturation in the alkenyl group is at least one carbon atom removed from the 1-nitrogen, and wherein the straight or branched chain alkyl is optionally

20

substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; hydroxyalkyl of one to about six carbon atoms; acyloxyalkyl wherein the acyloxy moiety is alkanoyloxy of two to about four carbon atoms or benzoyloxy and the alkyl moiety contains one to about six carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

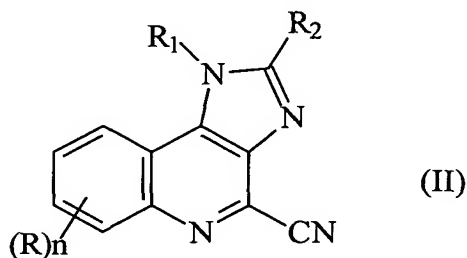
R_2 is selected from the group consisting of: hydrogen; straight or branched chain alkyl containing one to about eight carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R is independently selected from the group consisting of: alkoxy of one to about four carbon atoms; alkyl of one to about four carbon atoms; and halogen; and

n is an integer from 0 to 2, with the proviso that if n is 2, then said groups together contain no more than 6 carbon atoms.

15. A compound of claim 14, wherein R_1 is isobutyl, R_2 is hydrogen, and n is 0.

16. A process for preparing a compound of formula (IV) and salts thereof, comprising reacting a compound of formula (II) or a salt thereof:



with an aqueous solution of a strong acid, wherein

R_1 is selected from the group consisting of: hydrogen; a straight or branched chain alkyl of one to about 10 carbon atoms, optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; straight or branched chain alkenyl of 2 to about 10 carbon atoms, wherein the olefinic unsaturation in the alkenyl group is at least one carbon atom removed from the 1-nitrogen, and wherein the straight or branched chain alkyl is optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; hydroxyalkyl of one to about six carbon atoms; acyloxyalkyl wherein the acyloxy moiety is alkanoyloxy of two to about four carbon atoms or benzyloxy and the alkyl moiety contains one to about six carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R_2 is selected from the group consisting of: hydrogen; straight or branched chain alkyl containing one to about eight carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R is independently selected from the group consisting of: alkoxy of one to about four carbon atoms; alkyl of one to about four carbon atoms; and halogen; and

n is an integer from 0 to 2, with the proviso that if n is 2, then said groups together contain no more than 6 carbon atoms.

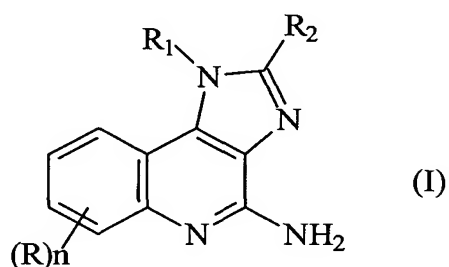
17. The process of claim 16, wherein R_1 is isobutyl, R_2 is hydrogen, and n is 0.

18. The process of claim 16, wherein the aqueous solution of a strong acid is a 30%

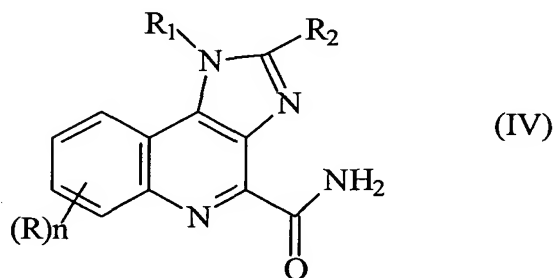
hydrochloride acid solution.

19. The process of claim 16, wherein the aqueous solution of a strong acid is heated to between about 40 °C to about 60 °C prior to reaction with the compound of formula (II) or a salt thereof.

5 20. A process for preparing a compound of formula (I) or a pharmaceutically acceptable acid addition salt thereof:



comprising subjecting a compound of formula (IV) or a salt thereof:



10 to a Hofmann rearrangement or degradation reaction, whereby the amide group of said compound is degraded to form an amine group at the corresponding position of the quinoline ring system, thus forming a compound of formula (I), wherein

R_1 is selected from the group consisting of: hydrogen; a straight or branched chain alkyl of one to about 10 carbon atoms, optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; straight or branched chain alkenyl of 2 to about

10 carbon atoms, wherein the olefinic unsaturation in the alkenyl group is at least one carbon atom removed from the 1-nitrogen, and wherein the straight or branched chain alkyl is optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; hydroxyalkyl of one to about six carbon atoms; acyloxyalkyl wherein the acyloxy moiety is alkanoyloxy of two to about four carbon atoms or benzoyloxy and the alkyl moiety contains one to about six carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R_2 is selected from the group consisting of: hydrogen; straight or branched chain alkyl containing one to about eight carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R is independently selected from the group consisting of: alkoxy of one to about four carbon atoms; alkyl of one to about four carbon atoms; and halogen; and

n is an integer from 0 to 2, with the proviso that if n is 2, then said groups together contain no more than 6 carbon atoms.

21. The process of claim 20, wherein R_1 is isobutyl, R_2 is hydrogen, and n is 0.

22. The process of claim 20, wherein the Hofmann degradation is effected by reacting said compound of formula (IV) with an alkali or alkaline earth metal salt of a hypohalous acid and a strong base to form a suspension.

23. The process of claim 22, wherein the alkali or alkaline earth metal salt of a hypohalous acid is selected from the group consisting of NaOCl and NaOBr.

24. The process of claim 22, wherein the strong base is selected from the group consisting of NaOH, KOH and MgO.

25. The process of claim 22, wherein the suspension comprises 1,2-dimethoxyethane and water.

5 26. The process of claim 25, further comprising acidifying the suspension.

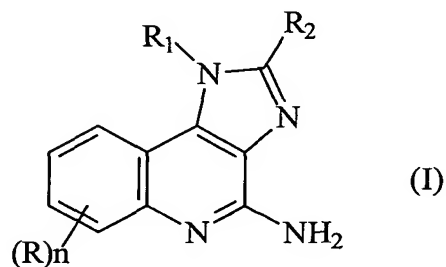
27. The process of claim 26, further comprising distilling off the 1,2-dimethoxyethane and water.

28. The process of claim 20, wherein the reaction takes place at a temperature of between 50-52 °C.

10 29. The process of claim 20, wherein the reaction is complete is about 2 hours.

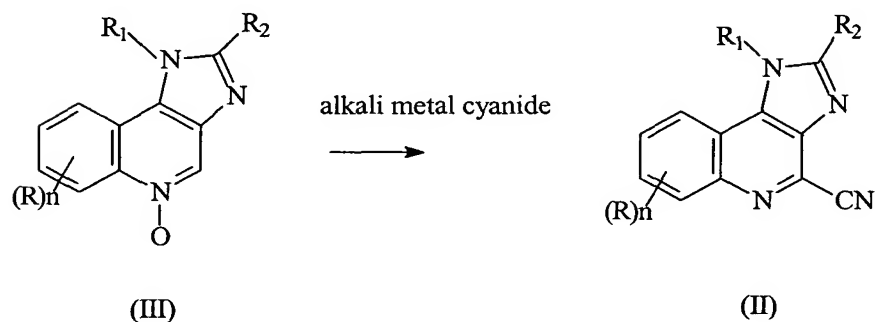
30. The process of claim 20, further comprising purifying the compound of formula (I) by crystallizing or recrystallizing it.

31. A process for preparing a compound of formula (I) or a pharmaceutically acceptable acid addition salt thereof:

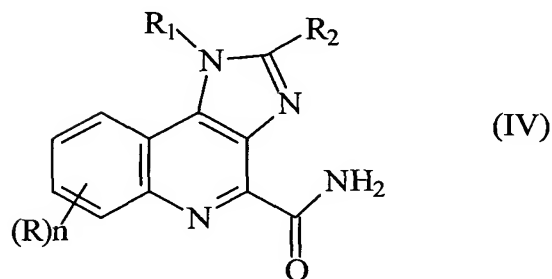


15 comprising:

- a) reacting a compound of formula (III) with an alkali metal cyanide in an organic solvent and water to form a solution of a compound of formula (II) or a salt thereof:



- 5 b) reacting the solution of a compound of formula (II) or a salt thereof with an aqueous solution of a strong acid to form a compound of formula (IV) or a salt thereof:



and

- 10 c) subjecting the compound of formula (IV) or a salt thereof to a Hofmann rearrangement or degradation reaction, whereby the amide group of said compound is degraded to form an amine group at the corresponding position of the quinoline ring system, thus forming a compound of formula (I) or a salt thereof,

15 wherein

R_1 is selected from the group consisting of: hydrogen; a straight or branched chain alkyl of one to about 10 carbon atoms, optionally substituted with a substituent selected from the

group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; straight or branched chain alkenyl of 2 to about 10 carbon atoms, wherein the olefinic unsaturation in the alkenyl group is at least one carbon atom removed from the 1-nitrogen, and wherein the straight or branched chain alkyl is optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; hydroxyalkyl of one to about six carbon atoms; acyloxyalkyl wherein the acyloxy moiety is alkanoyloxy of two to about four carbon atoms or benzoyloxy and the alkyl moiety contains one to about six carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R_2 is selected from the group consisting of: hydrogen; straight or branched chain alkyl containing one to about eight carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R is independently selected from the group consisting of: alkoxy of one to about four carbon atoms; alkyl of one to about four carbon atoms; and halogen; and

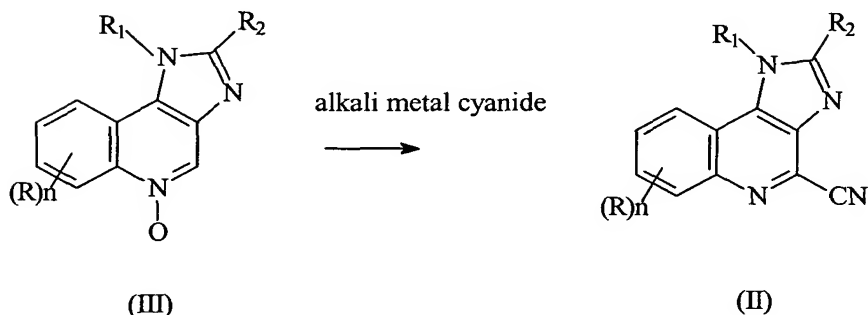
n is an integer from 0 to 2, with the proviso that if n is 2, then said groups together contain no more than 6 carbon atoms.

32. The process of claim 16 or 31, further comprising distilling off the organic solvent in b) at a temperature of about 50-52 °C to form a suspension.

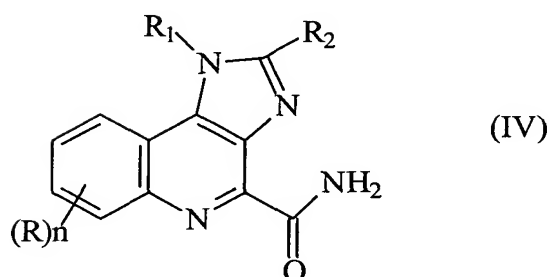
33. The process of claim 16 or claim 32, further comprising cooling the suspension and filtering it to isolate the compound of formula (IV).

34. A process for preparing a compound of formula (I) or an acid addition salt thereof, comprising:

- a) reacting a compound of formula (III) with an alkali metal cyanide in a suitable solvent to form a solution of a compound of formula (II) or a salt thereof:



- b) converting the compound of formula (II) or a salt thereof into a compound of formula (IV) or a salt thereof:



and

- c) converting the compound of formula (IV) or a salt thereof into a compound of formula (I) or a salt thereof, wherein

R_1 is selected from the group consisting of: hydrogen; a straight or branched chain alkyl of one to about 10 carbon atoms, optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; straight or branched chain alkenyl of 2 to about 10 carbon atoms, wherein the olefinic unsaturation in the alkenyl group is at least one carbon

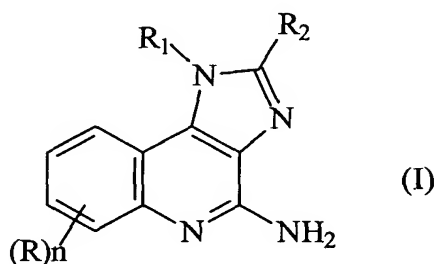
atom removed from the 1-nitrogen, and wherein the straight or branched chain alkyl is optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; hydroxyalkyl of one to about six carbon atoms; acyloxyalkyl wherein the acyloxy moiety is alkanoyloxy of two to about four carbon atoms or benzoyloxy and the alkyl moiety contains one to about six carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R_2 is selected from the group consisting of: hydrogen; straight or branched chain alkyl containing one to about eight carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R is independently selected from the group consisting of: alkoxy of one to about four carbon atoms; alkyl of one to about four carbon atoms; and halogen; and

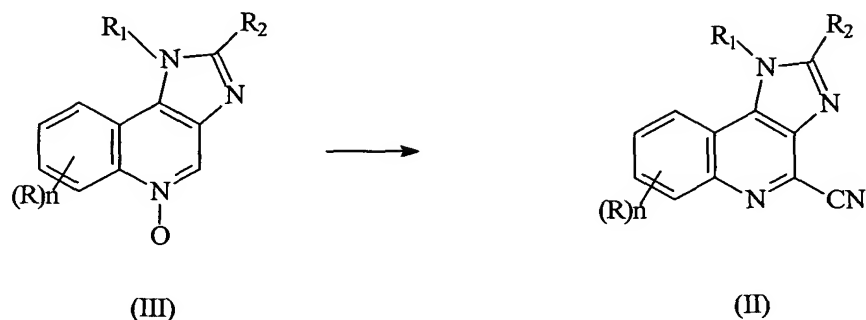
n is an integer from 0 to 2, with the proviso that if n is 2, then said groups together contain no more than 6 carbon atoms.

35. A process for preparing a compound of formula (I) or a pharmaceutically acceptable acid addition salt thereof:

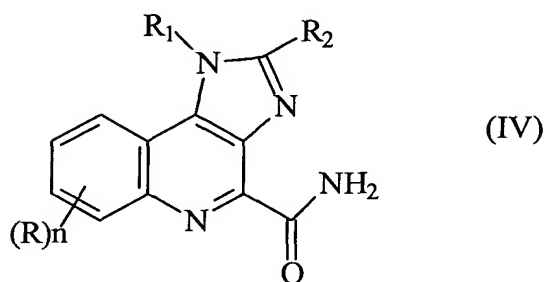


comprising:

- a) converting a compound of formula (III) or a salt thereof into a compound of formula (II) or a salt thereof:



- 5 b) reacting the compound of formula (II) or a salt thereof with an aqueous solution
of a strong acid to form a compound of formula (IV) or a salt thereof:



and

- c) converting the compound of formula (IV) or a salt thereof into a compound of formula (I) or a salt thereof,

wherein

R₁ is selected from the group consisting of: hydrogen; a straight or branched chain alkyl of one to about 10 carbon atoms, optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; straight or branched chain alkenyl of 2 to about 10 carbon atoms, wherein the olefinic unsaturation in the alkenyl group is at least one carbon atom removed from the 1-nitrogen, and wherein the straight or branched chain alkyl is optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to

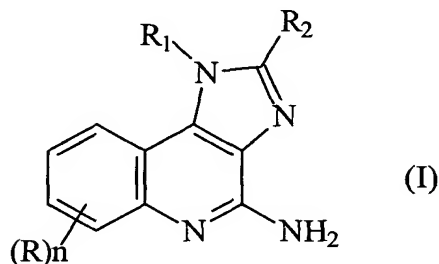
about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; hydroxyalkyl of one to about six carbon atoms; acyloxyalkyl wherein the acyloxy moiety is alkanoyloxy of two to about four carbon atoms or benzoyloxy and the alkyl moiety contains one to about six carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R_2 is selected from the group consisting of: hydrogen; straight or branched chain alkyl containing one to about eight carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R is independently selected from the group consisting of: alkoxy of one to about four carbon atoms; alkyl of one to about four carbon atoms; and halogen; and

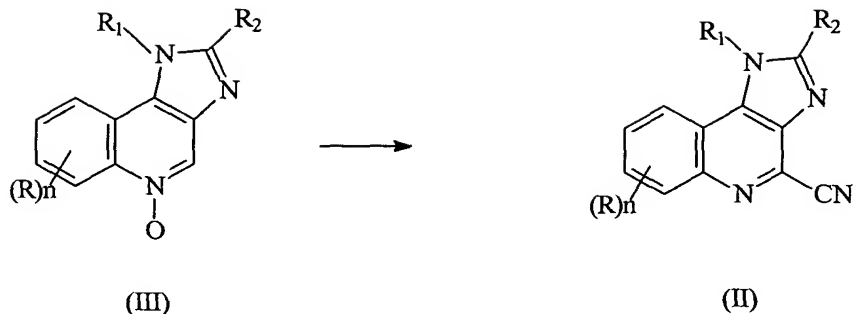
n is an integer from 0 to 2, with the proviso that if n is 2, then said groups together contain no more than 6 carbon atoms.

36. A process for preparing a compound of formula (I) or a pharmaceutically acceptable acid addition salt thereof:

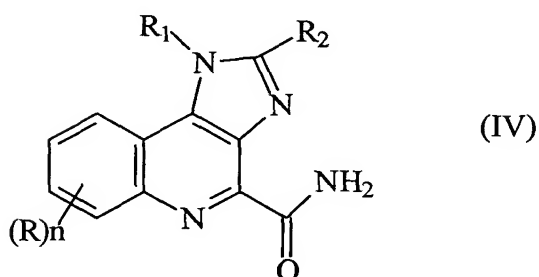


comprising:

- a) converting of formula (III) into a compound of formula (II) or a salt thereof:



- b) converting the compound of formula (II) or a salt thereof into a compound of formula (IV) or a salt thereof:



5 and

- c) subjecting the compound of formula (IV) or a salt thereof to a Hofmann rearrangement or degradation reaction, whereby the amide group of said compound is degraded to form an amine group at the corresponding position of the quinoline ring system, thus forming a compound of formula (I) or a salt thereof,

10

wherein

R_1 is selected from the group consisting of: hydrogen; a straight or branched chain alkyl of one to about 10 carbon atoms, optionally substituted with a substituent selected from the group consisting of lower alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; straight or branched chain alkenyl of 2 to about 10 carbon atoms, wherein the olefinic unsaturation in the alkenyl group is at least one carbon atom removed from the 1-nitrogen, and wherein the straight or branched chain alkyl is optionally substituted with a substituent selected from the group consisting of lower

15

alkyl, cycloalkyl of 3 to about 6 carbon atoms, wherein said cycloalkyl is optionally substituted with a lower alkyl group; hydroxyalkyl of one to about six carbon atoms; acyloxyalkyl wherein the acyloxy moiety is alkanoyloxy of two to about four carbon atoms or benzoyloxy and the alkyl moiety contains one to about six carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R_2 is selected from the group consisting of: hydrogen; straight or branched chain alkyl containing one to about eight carbon atoms; benzyl; (phenyl)ethyl; and phenyl, wherein said benzyl, (phenyl)ethyl and phenyl substituents are optionally substituted on the benzene ring by one or two moieties independently selected from the group consisting of lower alkyl, lower alkoxy, and halogen, with the proviso that when the benzene ring is substituted by two such moieties, then the moieties together contain more than 6 carbon atoms;

R is independently selected from the group consisting of: alkoxy of one to about four carbon atoms; alkyl of one to about four carbon atoms; and halogen; and

n is an integer from 0 to 2, with the proviso that if n is 2, then said groups together contain no more than 6 carbon atoms.

37. A compound of claim 14 and salts thereof, which is at least about 99% pure.